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          JUL 02
                  CHEMCATS accession numbers revised
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          JUL 02
                  CA/CAplus enhanced with utility model patents from China
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          JUL 16
                  CAplus enhanced with French and German abstracts
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          JUL 18
                  CA/CAplus patent coverage enhanced
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          JUL 26
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                  CAS REGISTRY enhanced with new experimental property tags
NEWS 11
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                  FSTA enhanced with new thesaurus edition
NEWS 12
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                  patents
NEWS 13
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NEWS 14
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                  Full-text patent databases enhanced with predefined
                  patent family display formats from INPADOCDB
NEWS 15
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                  USPATOLD now available on STN
NEWS 16
          AUG 28
                  CAS REGISTRY enhanced with additional experimental
                  spectral property data
NEWS 17
          SEP 07
                  STN AnaVist, Version 2.0, now available with Derwent
                  World Patents Index
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                  FORIS renamed to SOFIS
                  INPADOCDB enhanced with monthly SDI frequency
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                  1967-1998
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                  CA/CAplus enhanced with pre-1907 records from Chemisches
                  Zentralblatt
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         OCT 19
                  BEILSTEIN updated with new compounds
NEWS EXPRESS
               19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
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               AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
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SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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http://www.cas.org/support/stngen/stndoc/properties.html

=> file stnguide
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 2.25 2.46

FULL ESTIMATED COST

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## => FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 1.92 4.38

FULL ESTIMATED COST

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=> Uploading C:\Program Files\Stnexp\Queries\11759704.str

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:35:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3547 TO ITERATE

56.4% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

67368 TO 74512

PROJECTED ANSWERS:

1 TO 114

L2

1 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 16:35:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 70912 TO ITERATE

100.0% PROCESSED 70912 ITERATIONS

93 ANSWERS

SEARCH TIME: 00.00.01

L3

93 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

172.10 176.48

FULL ESTIMATED COST

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=> s 13

L4

7 L3

=> d abs fbib hitstr 1-7

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

$$R^4$$
  $R^5$   $R^4$   $R^5$   $R^4$   $R^5$   $R^4$   $R^5$   $R^5$   $R^5$   $R^6$   $R^6$   $R^7$   $R^6$ 

Title compds. I [wherein R1, R2 = independently OH, (cyclo)alkoxy or AB (fluoro)alkoxy; R3, R31 = H or alkyl; R4 = H or alkyl; R5 = R51 = H; R6 = H, halo, alkyl or alkoxy; R7 = (un) substituted NH2; or their salts and the N-oxides, and the salts of the N-oxides thereof] were prepared, such as II, as PDE4 inhibitors. Selected prepared I showed inhibition of PDE4 with pIC50 values of 7.36 - 9.33. Therefore, I and pharmaceutical compns. thereof are useful for treating PDE-mediated disorders, such as respiratory diseases.

AN 2006:945476 CAPLUS

145:335953 DN

Preparation of amido-substituted 6-phenylphenanthridines as PDE4 TI inhibitors

Kautz, Ulrich; Schmidt, Beate; Flockerzi, Dieter; Chiesa, Maria Vittoria; IN Hatzelmann, Armin; Zitt, Christof; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter

Altana Pharma AG, Germany PA.

SO PCT Int. Appl., 68pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.	CNT 1																	
	PATENT NO.				KIND		DATE		APPLICATION NO.						DATE			
ΡI	WO 2006095009				A1		20060914		WO 2006-EP60595					20060309				
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		CF,	CG, C	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
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OS MARPAT 145:335953

909778-53-6P 909778-57-0P 909778-59-2P IT 909778-61-6P 909778-63-8P 909778-69-4P

909778-70-7P 909778-71-8P 909778-72-9P 909778-73-0P 909778-74-1P 909778-75-2P 909778-76-3P 909778-77-4P 909778-79-6P 909778-82-1P 909778-83-2P 909778-85-4P 909778-90-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amido-substituted 6-phenylphenanthridines as PDE4 inhibitors)

RN 909778-53-6 CAPLUS

CN Glycine, N-[4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 909778-57-0 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-[1-(methoxymethyl)propyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 909778-59-2 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-

phenanthridinyl]-N-(2-methoxyethyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 909778-61-6 CAPLUS

CN Benzamide, N-(2,2-diethoxyethyl)-4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 909778-63-8 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-(3-hydroxypropyl)- (CA INDEX NAME)

RN 909778-69-4 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 909778-70-7 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-4-morpholinyl- (CA INDEX NAME)

RN 909778-71-8 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-[2-(4-morpholinyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 909778-72-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-[4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]benzoyl]hydrazide (CA INDEX NAME)

RN 909778-73-0 CAPLUS

CN Benzeneacetic acid, 3,4-dimethoxy-, 2-[4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]benzoyl]hydrazide (CA INDEX NAME)

Absolute stereochemistry.

RN 909778-74-1 CAPLUS

CN 4-Morpholinecarboxylic acid, 2-[4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]benzoyl]hydrazide (CA INDEX NAME)

RN 909778-75-2 CAPLUS

CN Benzoic acid, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-, 2-[4-(aminosulfonyl)phenyl]hydrazide (CA INDEX NAME)

Absolute stereochemistry.

RN 909778-76-3 CAPLUS

CN 2-Pyridinecarboxylic acid, 2-[4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]benzoyl]hydrazide (CA INDEX NAME)

RN 909778-77-4 CAPLUS

CN 2-Piperazinecarboxylic acid, 1,4-dimethyl-, 2-[4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]benzoyl]hydrazide (CA INDEX NAME)

Absolute stereochemistry.

RN 909778-79-6 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-[3-(4-morpholinyl)propyl]- (CA INDEX NAME)

RN 909778-82-1 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-9-(difluoromethoxy)-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-phenanthridinyl]-N-[3-(4-morpholinyl)propyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 909778-83-2 CAPLUS

CN Glycine, N-[4-[(4aR,10bR)-9-(difluoromethoxy)-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-phenanthridinyl]benzoyl]-, methyl ester (CA INDEX NAME)

RN 909778-85-4 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-9-(difluoromethoxy)-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-phenanthridinyl]-N-[2-(3-pyridinyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 909778-90-1 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-[2-(4-methyl-1-piperazinyl)ethyl]- (CA INDEX NAME)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

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AB Title compds. I [wherein R1, R2 = OH or (cyclo)alkoxy; R3, R31 = H or alkyl; R4 = OH, alkoxy or alkylcarbonyloxy; R5 = H or alkyl; R6 = H, halo, alkyl or alkoxy; R7 = (un)substituted NH2; etc., or their salts and the N-oxides, and the salts of the N-oxides] were prepared as PDE4 inhibitors. For instance, II (R = OH) was synthesized by hydrolysis of its ester II (R = OAc) with Cs2CO3 in methanol. Representative I, including II (R = OH), were found to inhibit PDE4B2 with pIC50 values of 6.42 - 9.02. Therefore, I and pharmaceutical compns. thereof are useful for treating PDE-mediated

disorders, such as respiratory diseases. ΑN 2005:1026938 CAPLUS 143:326233 DN Preparation of amido-substituted phenylphenanthridines as PDE4 inhibitors TI for the treatment of respiratory diseases IN Schmidt, Beate; Kautz, Ulrich PA Altana Pharma A.-G., Germany PCT Int. Appl., 107 pp. SO CODEN: PIXXD2 DT Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_\_ --------------WO 2005-EP51054 PΙ WO 2005087745 A1 20050922 20050309 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 2004-100990 A 20040310 EP 2004-106677 A 20041217 AU 2005221832 A1 20050922 AU 2005-221832 20050309 A 20040310 EP 2004-100990 EP 2004-106677 A 20041217 WO 2005-EP51054 W 20050309 CA 2558391 A1 20050922 CA 2005-2558391 20050309 EP 2004-100990 A 20040310 EP 2004-106677 A 20041217 WO 2005-EP51054 W 20050309 EP 1725534 20061129 A1 · EP 2005-740073 20050309 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU EP 2004-100990 A 20040310 EP 2004-106677 A 20041217 WO 2005-EP51054 W 20050309 CN 1926113 Α 20070307 CN 2005-80006855 20050309 EP 2004-100990 A 20040310 EP 2004-106677 A 20041217 WO 2005-EP51054 W 20050309 BR 2005008481 Α 20070731 BR 2005-8481 EP 2004-100990 A 20040310 EP 2004-106677 A 20041217 WO 2005-EP51054 W 20050309 JP 2007527901 Т 20071004 JP 2007-502343 20050309 EP 2004-100990 A 20040310 EP 2004-106677 A 20041217 WO 2005-EP51054 20050309 US 2007185149 A1 20070809 US 2006-591480 20060927 EP 2004-100990 A 20040310 EP 2004-106677 A 20041217 WO 2005-EP51054 W 20050309

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     865307-01-3P 865307-08-0P 865307-09-1P
     865307-10-4P 865307-11-5P 865307-13-7P
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     865307-34-2P 865307-35-3P 865307-37-5P
     865307-38-6P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (inhibitor; preparation of amido-substituted phenylphenanthridines as PDE4
        inhibitors for the treatment of respiratory diseases)
RN
     865306-83-8 CAPLUS
CN
     Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-
     dimethoxy-6-phenanthridinyl]-N-[2-(4-morpholinyl)ethyl]-, rel- (CA INDEX
     NAME)
```

Relative stereochemistry.

RN 865306-84-9 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-4-morpholinyl-, rel- (CA INDEX NAME)

RN 865306-86-1 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-[3-(4-morpholinyl)propyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 865306-87-2 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-(4-methyl-1-piperazinyl)-, rel- (CA INDEX NAME)

RN 865306-88-3 CAPLUS

CN Benzoic acid, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-, 2-[3-(aminosulfonyl)phenyl]hydrazide, rel-(CA INDEX NAME)

Relative stereochemistry.

RN 865306-90-7 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-3-quinolinyl-, rel- (CA INDEX NAME)

RN 865306-91-8 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-[2-(2-pyridinyl)ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 865306-93-0 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-(2,3-dimethylimidazo[1,2-a]pyridin-7-yl)-, rel- (CA INDEX NAME)

RN 865306-95-2 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-cyclopropyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 865306-98-5 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-[4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]benzoyl]hydrazide, rel- (CA INDEX NAME)

RN 865306-99-6 CAPLUS

CN L-Aspartic acid, N-[4-[2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]benzoyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 865307-00-2 CAPLUS

CN 4-Pyridinecarboxylic acid, 2-[4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]benzoyl]hydrazide, rel- (CA INDEX NAME)

RN 865307-01-3 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-1,7-naphthyridin-8-yl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 865307-08-0 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-[2-(4-pyridinyl)ethyl]-, rel- (CA INDEX NAME)

05/11/2007

RN 865307-09-1 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-[2-(3-pyridinyl)ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 865307-10-4 CAPLUS

CN 4-Morpholinecarboxylic acid, 2-[4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]benzoyl]hydrazide, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 865307-11-5 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-(2,6-dimethoxy-3-pyridinyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 865307-13-7 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-9-(difluoromethoxy)1,2,3,4,4a,10b-hexahydro-8-methoxy-6-phenanthridinyl]-N-cyclopropyl-, rel(CA INDEX NAME)

RN 865307-15-9 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-9-(difluoromethoxy)-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-phenanthridinyl]-N-[2-(4-morpholinyl)ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 865307-16-0 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-9-(difluoromethoxy)-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-phenanthridinyl]-N-[3-(4-morpholinyl)propyl]-, rel- (CA INDEX NAME)

RN 865307-33-1 CAPLUS

CN Benzamide, N-cyclopropyl-4-[(3S,4aR,10bR)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-3-hydroxy-8-methoxy-6-phenanthridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 865307-34-2 CAPLUS

CN Benzamide, 3-[(2R,4aR,10bR)-2-(acetyloxy)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-phenanthridinyl]-N-cyclopropyl- (CA INDEX NAME)

RN 865307-35-3 CAPLUS

CN Benzamide, 3-[(2R,4aR,10bR)-2-(acetyloxy)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-phenanthridinyl]-N-cyclobutyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 865307-37-5 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-phenanthridinyl]-N-cyclopropyl- (CA INDEX NAME)

RN 865307-38-6 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-phenanthridinyl]-N-cyclobutyl- (CA INDEX NAME)

Absolute stereochemistry.

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IT
     865306-47-4P 865306-48-5P 865306-49-6P
     865306-50-9P 865306-52-1P 865306-53-2P
     865306-57-6P 865306-66-7P 865306-67-8P
     865306-68-9P 865306-69-0P 865306-71-4P
     865306-73-6P 865306-74-7P 865307-23-9P
     865307-24-0P 865307-25-1P 865307-26-2P
     865307-27-3P 865307-28-4P 865307-30-8P
     865307-31-9P 865307-40-0P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (inhibitor; preparation of amido-substituted phenylphenanthridines as PDE4
        inhibitors for the treatment of respiratory diseases)
RN
     865306-47-4 CAPLUS
CN
     Benzamide, 4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-
     dimethoxy-6-phenanthridinyl]-N-[2-(4-morpholinyl)ethyl]-, rel- (CA INDEX
     NAME)
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RN 865306-48-5 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]-N-[3-(4-morpholinyl)propyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 865306-49-6 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]-N-(4-methyl-1-piperazinyl)-, rel- (CA INDEX NAME)

RN 865306-50-9 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]-N-4-morpholinyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 865306-52-1 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]-N-3-quinolinyl-, rel- (CA INDEX NAME)

RN 865306-53-2 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]-N-[2-(2-pyridinyl)ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 865306-57-6 CAPLUS

CN Benzamide, N-cyclopropyl-4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]-, rel- (CA INDEX NAME)

RN 865306-66-7 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]-N-[2-(4-pyridinyl)ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 865306-67-8 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]-N-[2-(3-pyridinyl)ethyl]-, rel- (CA INDEX NAME)

RN 865306-68-9 CAPLUS

CN 4-Morpholinecarboxylic acid, 2-[4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]benzoyl]hydrazide, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 865306-69-0 CAPLUS

CN Benzamide, N-(2,6-dimethoxy-3-pyridinyl)-4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]-, rel- (CA INDEX NAME)

RN 865306-71-4 CAPLUS
CN Benzamide, N-cyclopropyl-4-[(2R,4aR,10bR)-9-(difluoromethoxy)1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]-, rel-

1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]-, (CA INDEX NAME)

Relative stereochemistry.

RN 865306-73-6 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-9-(difluoromethoxy)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]-N-[2-(4-morpholinyl)ethyl]-, rel-(CA INDEX NAME)

RN 865306-74-7 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-9-(difluoromethoxy)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]-N-[3-(4-morpholinyl)propyl]-, rel-(CA INDEX NAME)

Relative stereochemistry.

RN 865307-23-9 CAPLUS

CN Benzamide, N-(2,6-dimethoxy-3-pyridinyl)-4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 865307-24-0 CAPLUS

CN Benzamide, N-(2,6-dimethoxy-3-pyridinyl)-4-[(2S,4aS,10bS)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 865307-25-1 CAPLUS

CN Benzamide, N-cyclopropyl-4-[(2R,4aR,10bR)-9-(difluoromethoxy)1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]- (CA
INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 865307-26-2 CAPLUS

CN Benzamide, N-cyclopropyl-4-[(2S,4aS,10bS)-9-(difluoromethoxy)1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]- (CA
INDEX NAME)

Absolute stereochemistry.

RN 865307-27-3 CAPLUS

CN Benzamide, N-cyclopropyl-4-[(2R,4aR,10bR)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]- (CA INDEX NAME)

RN 865307-28-4 CAPLUS

CN Benzamide, N-cyclobutyl-4-[(2R,4aR,10bR)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 865307-30-8 CAPLUS

CN Benzamide, N-cyclopropyl-3-[(2R,4aR,10bR)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]- (CA INDEX NAME)

RN 865307-31-9 CAPLUS

CN Benzamide, N-cyclobutyl-3-[(2R,4aR,10bR)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 865307-40-0 CAPLUS

CN Benzamide, 4-[(3S,4aR,10bR)-3-(acetyloxy)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-phenanthridinyl]-N-cyclopropyl- (CA INDEX NAME)

05/11/2007 Page 41

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Title compds. I [R1 = OH, alkoxy, cycloalkoxy, etc.; R2 = OH, cycloalkylmethoxy, cycloalkoxy, etc. or R1 and R2 together form alkylenedioxy group; R3 = H or alkyl; R4 = OR9 and R5 = H or alkyl or R4 = H or alkyl and R5 = OR9; R6 = H or alkyl; R7 = (un)substituted guanidinyl; R8 = H, halo, nitro, etc.; R9 = H, alkyl, alkoxyalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as phosphodiesterase 4 (PDE4) inhibitors. Thus, e.g., II was prepared by coupling of 4-((2RS,4aRS,10bRS)-2-acetoxy-8,9-dimethoxy-1,2,3,4,4a,10b-hexahydro-phenanthridin-6-yl)-benzoic acid with the resp. guanidinyl derivative followed by hydrolysis. The activity of I was evaluated using scintillation proximity assays and it was revealed that selected compds. of the invention displayed -log IC50 values higher than 7.5. I as inhibitor of PDE4 should provide useful in the treatment of respiratory disorders. Pharmaceutical compns. comprising I are disclosed.

AN 2005:902858 CAPLUS

DN 143:248297

TI Preparation of guanidinyl hydroxyphenylphenanthridines as PDE4 inhibitors

IN Schmidt, Beate; Flockerzi, Dieter; Hatzelmann, Armin; Zitt, Christof;
Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Kautz, Ulrich

PA Altana Pharma A.-G., Germany

SO PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.CNT 1 PATENT NO.							KIND DATE				APPL	ICAT		DATE				
PI	WO 2005077906			A1 20050825					WO 2	 005-:	EP50'		20050217					
·		W: RW:	CN, GE, LK, NO, TJ, BW, AZ,	CO, GH, LR, NZ, TM, GH, BY,	CR, GM, LS, OM, TN, GM, KG,	AM, CU, HR, LT, PG, TR, KE, KZ,	CZ, HU, LU, PH, TT, LS, MD,	DE, ID, LV, PL, TZ, MW, RU,	DK, IL, MA, PT, UA, MZ, TJ,	DM, IN, MD, RO, UG, NA, TM,	DZ, IS, MG, RU, US, SD, AT,	EC, JP, MK, SC, UZ, SL, BE,	EE, KE, MN, SD, VC, SZ, BG,	EG, KG, MW, SE, VN, TZ, CH,	ES, KP, MX, SG, YU, UG, CY,	FI, KR, MZ, SK, ZA, ZM, CZ,	GB, KZ, NA, SL, ZM, ZW, DE,	GD, LC, NI, SY, ZW AM, DK,
			RO,	SE,	SI,	SK, TD,	TR,			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
	AU 2005212857				Al		2005	0825		EP 2 AU 2 EP 2	005- 004-	2128 3592	57	i	2 A 2	0040: 0050: 0040:	217 218	
	CA 2556086			Al		2005	0825		WO 2 CA 2 EP 2 WO 2	005- 004-	2556 3592	086	A 200402			217 218		
	EP	.1720 R:	835 AT,			A1 CH,		2006 CZ,			EP 2	005-	7080	38		2	0050: 0050: HU,	217

IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU

				EP	2004-3592	Α	20040218
				WO	2005-EP50708	W	20050217
JР	2007523130	· <b>T</b>	20070816	JP	2006-553593		20050217
				EP	2004-3592	A	20040218
				WO	2005-EP50708	W	20050217
US	2007167482	A1	20070719	US	2006-589082		20060905
				EP	2004-3592	Α	20040218
				WO	2005-EP50708	w	20050217

OS MARPAT 143:248297

IT 862993-72-4P 862993-73-5P 862993-74-6P 862993-75-7P 862993-76-8P 862993-77-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of guanidinyl hydroxyphenylphenanthridines as PDE4 inhibitors) RN 862993-72-4 CAPLUS

CN Benzamide, N-[(diethylamino)iminomethyl]-4-[(2R,4aR,10bR)-9-(difluoromethoxy)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 862993-73-5 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-9-(difluoromethoxy)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]-N-[(hexahydro-1(2H)-azocinyl)iminomethyl]-, rel- (CA INDEX NAME)

RN 862993-74-6 CAPLUS

CN Benzamide, N-[(cyclopropylamino)iminomethyl]-4-[(2R,4aR,10bR)-9-(difluoromethoxy)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8-methoxy-6-phenanthridinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 862993-75-7 CAPLUS

CN Benzamide, N-[(4-acetyl-1-piperazinyl)iminomethyl]-4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]-, rel-(CA INDEX NAME)

RN 862993-76-8 CAPLUS

CN Benzamide, N-[(diethylamino)iminomethyl]-4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]-, rel- (CA INDEX NAME).

Relative stereochemistry.

RN 862993-77-9 CAPLUS

CN Benzamide, N-[(hexahydro-1(2H)-azocinyl)iminomethyl]-4-[(2R,4aR,10bR)-1,2,3,4,4a,10b-hexahydro-2-hydroxy-8,9-dimethoxy-6-phenanthridinyl]-, rel-(CA INDEX NAME)

IT 862993-78-0P 862993-79-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of guanidinyl hydroxyphenylphenanthridines as PDE4 inhibitors)

RN 862993-78-0 CAPLUS

CN Benzamide, 4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-[(4-acetyl-1-piperazinyl)iminomethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 862993-79-1 CAPLUS

CN Carbamimidothioic acid, [4-[(2R,4aR,10bR)-2-(acetyloxy)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]benzoyl]-, methyl ester, rel-(9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

The title compds. [I; R1, R2 = H0, C1-4 alkoxy, C3-7 cycloalkoxy, C3-7 cycloalkylmethoxy or completely or predominantly fluorine-substituted C1-4 alkoxy; or R1 and R2 together are a C1-2 alkylenedioxy group; R3, R31 = H, C1-4 alkyl; or R3 and R31 together are a C1-4 alkylene group; R4 = H, C1-4 alkyl and R51 = H; or R5 and R51 together represent an addnl. bond; R6 = H, halogen, nitro, C1-4 alkyl, CF3, C1-4 alkoxy; R7 = (un)substituted guanidino, heterocyclylamino, 1-heterocyclyl-1-(imino)methyl, etc.] or salts thereof, as well as N-oxides, enantiomers, E/Z isomers, or tautomers thereof and their salts are prepared These compds. I are useful for producing pharmaceutical compns. for treating respiratory disorders and/or dermatoses. Also disclosed is a method for treating an illness treatable by administration of a PDE4 inhibitor in a patient comprising administering to said patient in need thereof a therapeutically effective amount of a compound of formula I, in particular airway disorders.

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N'-[1-[4-[(4aR,10bR)-8,9-Dimethoxy-1,2,3,4,4a,10b-hexahydrophenanthridin-6-
     yl]phenyl]methanoyl]-N,N-diethylguanidine. Thus, 4.9 g
     1,1-diethylguanidinium sulfate was suspended in 120 mL MeCN, treated with
     720 mg NaOH in 25 mL MeOH, and stirred at room temperature for 1 h. The
solvent
     was evaporated and the residue was suspended in 200 mL CH2Cl2, treated with
     5.2 g Na2CO3 and then dropwise with a solution of 4.2 g 4-[(4aR,10bR)-8,9-
     dimethoxy-1,2,3,4,4a,10b-hexahydrophenanthridin-6-yl]benzoyl chloride
     hydrochloride in 200 mL CH2Cl2 dropwise, and stirred at room temperature for 15
     h to give, after workup and silica gel chromatog., N'-[1-[4-[(4aR,10bR)-
     8,9-Dimethoxy-1,2,3,4,4a,10b-hexahydrophenanthridin-6-yl]phenyl]methanoyl]-
     N, N-diethylguanidine (II). 12 Compds. I including II showed -logIC50
     (mol/L) of >8 against phosphodiesterase 4.
AN
     2004:182846 CAPLUS
DN
     140:235725
     Preparation of 6-phenylphenanthridine derivatives as phosphodiesterase 4
     (PDE4) inhibitors
     Kley, Hans-Peter; Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard;
IN
     Flockerzi, Dieter; Schmidt, Beate; Weinbrenner, Steffen
PΑ
     Altana Pharma A.-G., Germany
SO
     PCT Int. Appl., 49 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                        KIND
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                                           APPLICATION NO.
                                                                  DATE
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PI
     WO 2004018431
                         A2
                               20040304
                                           WO 2003-EP8967
                                                                  20030813
                         A3 .
     WO 2004018431
                               20040422
         W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, EE, HR, ID, IL, IN, IS,
             JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN,
             YU, ZA, ZW
         RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,
            DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
             SI, SK, TR
                                           EP 2002-18530
                                                               A 20020817
     CA 2495597
                          A1
                                20040304
                                           CA 2003-2495597
                                                                  20030813
                                           EP 2002-18530
                                                               A 20020817
                                           WO 2003-EP8967
                                                               W 20030813
    AU 2003253408
                         A1
                                20040311
                                           AU 2003-253408
                                                                  20030813
                                           EP 2002-18530
                                                               A 20020817
                                           WO 2003-EP8967
                                                               W 20030813
     EP 1537086
                         A2
                               20050608
                                           EP 2003-792307
                                                                  20030813
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                           EP 2002-18530
                                                               A 20020817
                                           WO 2003-EP8967
                                                               W 20030813
     JP 2005537312
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                                           JP 2004-530135
                                                                  20030813
                                           EP 2002-18530
                                                               A 20020817
                                           WO 2003-EP8967
                                                              W 20030813
    US 2006116518
                         A1
                                20060601
                                           US 2005-524634
                                                                  20050216
                                           EP 2002-18530
                                                              A 20020817
                                           WO 2003-EP8967
                                                              W 20030813
OS
    MARPAT 140:235725
IT
     667422-55-1P 667422-56-2P 667422-57-3P
     667422-58-4P 667422-59-5P 667422-60-8P
     667422-61-9P 667422-62-0P 667422-63-1P
     667422-64-2P 667422-65-3P 667422-66-4P
     667422-67-5P
```

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylphenanthridine derivs. as phosphodiesterase 4 (PDE4) inhibitors for treating respiratory disorders and/or dermatoses dermatosis)

RN 667422-55-1 CAPLUS

CN Benzamide, N-[(diethylamino)iminomethyl]-4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 667422-56-2 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-1H-imidazol-2-yl- (CA INDEX NAME)

Absolute stereochemistry.

RN 667422-57-3 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-(imino-4-morpholinylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 667422-58-4 CAPLUS

CN Benzamide, N-[(dimethylamino)iminomethyl]-4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 667422-59-5 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-[imino(4-methyl-1-piperazinyl)methyl]- (CA INDEX NAME)

RN 667422-60-8 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-1H-1,2,4-triazol-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 667422-61-9 CAPLUS

CN Benzamide, N-[amino(1H-benzimidazol-2-ylamino)methylene]-4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]- (9CI) (CA INDEX NAME)

RN 667422-62-0 CAPLUS

CN Benzamide, N-[(3,4-dihydro-2(1H)-isoquinolinyl)iminomethyl]-4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 667422-63-1 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-(imino-1-pyrrolidinylmethyl)- (CA INDEX NAME)

RN 667422-64-2 CAPLUS

CN Benzamide, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-[imino(phenylamino)methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 667422-65-3 CAPLUS

CN Benzamide, N-[(3,5-dimethyl-1H-pyrazol-1-yl)iminomethyl]-4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]- (CA INDEX NAME)

RN 667422-66-4 CAPLUS

CN Benzamide, N-[(diethylamino)iminomethyl]-3-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 667422-67-5 CAPLUS

CN Benzamide, 3-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-(imino-4-morpholinylmethyl)- (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

I

$$R^{3}$$
 $R^{4}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{3}$ 

Title compds. [I; R = C6H4R6; R1,R2 = OH, (fluoro)alkoxy, cycloalkyl(meth)oxy; R1R2 = OCH2O or OCH2CH2O; R3,R4,R31 = H or alkyl; R3R31 = alkylene; R6 = CO2NR7R8 or CONR9R10; R7 = H, (cyclo)alkyl, (un)substituted Ph, etc.; R8 = (cyclo)alkyl, (un)substituted Ph, etc.; R9 = H or alkyl; R10 = (un)substituted pyridyl or -Ph; dashed line = optional addnl. bond] were prepared Thus, 3,4-(MeO)2C6H3CHO was condensed with MeNO2 and the nitrostyrene product cyclocondensed with CH2:CHCH:CH2 to give, in 4 addnl. steps, (-)-cis-2-(3,4-dimethoxyphenyl)cyclohexanamine which was N-acylated by 4-(MeO)C6H4NHCOC6H4(COCl)-3 to give (-)-cis-I [R = C6H4[CONHC6H4(OMe)-4]-3, R1 = R2 = OMe, R3 = R4 = R31 = H] as the N-oxide (II). Data for biol. activity of II were given.

AN 2000:493521 CAPLUS

DN 133:120241

TI Preparation of phenanthridine N-oxides as PDE-IV inhibitors

IN Flockerzi, Dieter; Amschler, Hermann; Hatzelmann, Armin; Bundschuh, Daniela; Beume, Rolf; Boss, Hildegard; Kley, Hans-Peter; Gutterer, Beate

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

FAN.	PATENT NO.	KIND DATE	APPLICATION NO.	DATE				
PΙ	WO 2000042017	A1 20000720	WO 2000-EP150	20000112				
	W: AE, AL, AU	BA, BG, BR, CA,	CN, CZ, EE, GE, HR, H	HU, ID, IL, IN,				
	JP, KR, LT	LV, MK, MX, NO,	NZ, PL, RO, SG, SI, S	SK, TR, UA, US,				
	VN, YU, ZA	ZW, AM, AZ, BY,	KG, KZ, MD, RU, TJ, T	ГМ				
	RW: AT, BE, CH	CY, DE, DK, ES,	FI, FR, GB, GR, IE,	IT, LU, MC, NL,				
	PT, SE	•						
	•		EP 1999-100707	A 19990115				
	CA 2359404	A1 20000720	CA 2000-2359404	20000112 A 19990115 W 20000112				
	-		EP 1999-100707					
			WO 2000-EP150					
	AU 2000021077	A 20000801	AU 2000-21077	20000112				
	•		EP 1999-100707	A 19990115				
			WO 2000-EP150	W 20000112				
	EP 1147087	A1 20011024	EP 2000-901089	20000112				
	EP 1147087	B1 2005,0511						

AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO EP 1999-100707 19990115 WO 2000-EP150 20000112 JP 2002534505 20000112 20021015 JP 2000-593585 EP 1999-100707 19990115 WO 2000-EP150 20000112 AT 295352 Т 20050515 AT 2000-901089 20000112 EP 1999-100707 19990115 WO 2000-EP150 20000112 PT 1147087 20050930 PT 2000-901089 20000112 EP 1999-100707 19990115 Α WO 2000-EP150 20000112 ES 2242594 **T**3 20051116 ES 2000-901089 20000112 19990115 EP 1999-100707 US - 2002183350 A1 20021205 .US 2001-889142 20010712 US 6538005 B2 20030325 EP 1999-100707 19990115 Α WO 2000-EP150 20000112

OS MARPAT 133:120241

IT 284465-36-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenanthridine N-oxides as PDE-IV inhibitors)

RN 284465-36-7 CAPLUS

CN Benzamide, 3-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-5-oxido-6-phenanthridinyl]-N-(4-methoxyphenyl)-, rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

IT 284465-37-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phenanthridine N-oxides as PDE-IV inhibitors)

RN 284465-37-8 CAPLUS

CN Benzamide, 3-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-N-(4-methoxyphenyl)-, rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

AΒ Title compds. [I; R = ZSO2NR'R8 or ZCONR9R10; R1,R2 = OH, (fluoro)alkoxy, cycloalkyl(meth)oxy; R1R2 = alkylenedioxy; R3,R4,R7 = H or alkyl; R5,R6 = H; R5R6 = bond; R', R8 = H, alkyl, cycloalkyl(methyl), (un) substituted Ph; R9 = H or alkyl; R10 = (un) substituted Ph or -pyridyl; Z = phenylene] were prepared Thus, cis-2-(3-ethoxy-4-methoxyphenyl)cyclohexylamine (preparation given) was amidated by 4-(H2NO2S)C6H4COCl to give, after cyclization, I [R = C6H4(SO2NH2)-4, R1 = OMe, R2 = OEt, R3-R7 = H]. Data for biol. activity of the prepared I were given.

1999:96219 CAPLUS AN

DN 130:153582

TI Preparation of hexahydrophenanthridine-6-ylbenzenesulfonamides and analogs as phosphodiesterase 4 inhibitors

IN Amschler, Hermann; Flockerzi, Dieter; Ulrich, Wolf-Rudiger; Bar, Thomas; Martin, Thomas; Schudt, Christian; Hatzelmann, Armin; Beume, Rolf; Hafner, Dietrich; Boss, Hildegard; Kley, Hans-peter; Gutterer, Beate

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DTPatent

English

FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

ΡI	WO	9905				Al		1999	0204	0.7	WO	199	8-1	EP44	78	TD		.9980	
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		RW:	PT,		CH,	CY,	DE,	DK,	ES,	rΙ,	FF	κ, σ	ъ,	GR,	IE,	11,	ъυ,	MC,	мь,
			Е Г,	SE					,		ΕP	199	7-	1127	93		A ]	.9970	725
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								•			WO	199	<del>)</del> 8 – 1	FP44	78		W 1	9980	718
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	ΑU	7563	49			B2		2003	0109	•									
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			ΙE,	SI,	LT,	LV,	FI,	RO					_						
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	нп	2000	0033	11		A2		2001	0328					3311				19980	
		2000				A3		2002			110	200		JJ 11			-	بالارد	, 10
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	O.F	2060	<i>-</i>			D.C		2006	0111					EP44	78			19980	
	CZ	2960	64			В6		2006	0111			200			0.3			19980 19970	
	DT.	1910	94			В1		2006	<b>0221</b>					1127 3384		•		L9970 L9980	
	ГП	1910	ノユ			ĐΙ		2000	033I					3364 1127				L9970	
														1127 EP44				19980	
	US	6121	279			Α		2000	0919					4625				20000	
		~- <u>-</u> -				••		00						1127				19970	
														EP44				19980	
os	MAI	RPAT	130:	1535	82														

OS MARPAT 130:153582

IT 220167-05-5P 220167-09-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

<sup>(</sup>preparation of hexahydrophenanthridine-6-ylbenzenesulfonamides and analogs as phosphodiesterase 4 inhibitors)

RN 220167-05-5 CAPLUS

05/11/2007

CN Benzamide, 4-[(4aR,10bR)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-phenanthridinyl]-N-3-pyridinyl-, rel- (CA INDEX NAME)

Page 58

Relative stereochemistry.

RN 220167-09-9 CAPLUS

CN Benzamide, N-(4-cyanophenyl)-4-[(4aR,10bR)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-phenanthridinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN GI

Title compds. [I; R1, R2 = OH, alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R1R2 = alkylenedioxy; R3, R31 = H, alkyl; R3R31 = alkylene; AB R4 = H, alkyl; R5, R51 = H; R5R51 = bond; R6 = (modified) carboxyphenyl], were prepared for treatment of airway diseases. Thus, cis-N-[2-(3,4dimethoxyphenyl)cyclohexyl]-4-methoxycarbonylbenzamide (preparation given) was stirred 8 h at 50° with POCl3 in MeCN to give 38.6%. cis-8,9-dimethoxy-6-[4-(methoxycarbonyl)phenyl]-1,2,3,4,4a,10bhexahydrophenanthridine. The latter inhibited phosphodiesterase IV with  $-\log IC50 = 7.39.$ 

1997:533622 CAPLUS ΑN

DN 127:205483

ΤI Preparation of carboxyphenylhexahydrophenanthridines as phosphodiesterase IV inhibitors.

Amschler, Hermann; Flockerzi, Dieter; Ulrich, Wolf-Rudiger; Bar, Thomas; ΪN Martin, Thomas; Schudt, Christian; Hatzelmann, Armin; Beume, Rolf; Hafner, Dietrich; Boss, Hildegard; Kley, Hans-Peter; Goebel, Karl-Josef; Gutterer,

PABYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany; Gutterer, Beate SO

PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	01.12 _									
	PATENT NO.		APPLICATION NO.	DATE						
				·						
PI	WO 9728131	A1 19970807	WO 1997-EP402	19970130						
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			SI, SK, TR, UA, US,							
		RU, TJ, TM								
		•	FR, GB, GR, IE, IT,	LUL MC NL PT SE						
	,,	22, 211, 22, 12,	DE 1996-19603321							
		•	EP 1996-101791							
	DE 19603321	A1 19970807	DE 1996-19603321	19960131						
	CA 2245142	A1 19970807	CA 1997-2245142	19970130						
	CA 2245142	C 20041130		•						
		•	DE 1996-19603321	A 19960131						
			EP 1996-101791	A 19960208						
			WO 1997-EP402	W 19970130						
	AU 9717199	A 19970822	AU 1997-17199	19970130						
	AU 707058	B2 19990701								
			DE 1996-19603321	A 19960131						
			EP 1996-101791	A 19960208						
			WO 1997-EP402	W 19970130						
	EP 882021	A1 19981209	EP 1997-904354	19970130						
	EP 882021	B1 20030305	•							

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										W	0	1997-	EP40	2	1	W 1	9970	130
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										W	0	1997-	EP40	2	Ţ	W 1	9970	130
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										W	0	1997-	EP40	2	7	W 1	9970	130
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												1996-			i	A 1	9960	208
										W	0	1997-	EP40	2	1	W 1	9970	130
S	К 2	8208	34			В6		2001	1008	S	K	1998-	1024			1	9970	130
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E	E 3	523				B1		2001	1015	E	Е	1998-	223			1	9970	130
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									•	W	0	1997-	EP40	2	1		9970	
			12 32-5		5483	; MA	RPAT	127	:2054	183								

<sup>10524634</sup> 

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carboxyphenylhexahydrophenanthridines as phosphodiesterase IV inhibitors)

RN 194735-32-5 CAPLUS

CN Benzamide, 4-(1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl)-N-methyl-, cis- (9CI) (CA INDEX NAME)